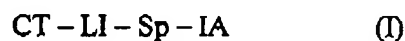


Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1. (original) Conjugate, characterized by the formula (I)



in which

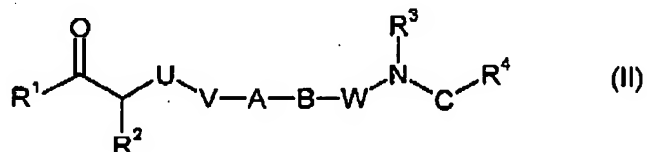
CT denotes a cytotoxic radical or a radical of a cytostatic or of a cytostatic derivative, which can additionally carry a hydroxyl, carboxyl or amino group,

LI is a linker group comprising 5 to 8 amino acid residues in the D or L configuration, which can each optionally carry protective groups,

Sp is absent or a carbonyl or a thiocarbonyl radical,

IA is a non-peptide radical addressing an $\alpha_v\beta_3$ integrin receptor, which is selected from the group consisting of

- A) a radical of the formula (II)



in which

- R^1 is OH, a substituted or unsubstituted alkoxy or cycloalkoxy radical, a substituted or unsubstituted aryloxy radical or a saturated or unsaturated, optionally substituted heterocycloxy radical, or optionally represents a direct bond or an atom from the group consisting of N, O and S, via which the radical of the formula (II) is bonded to the rest of the conjugate;
- R^2 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical, an optionally substituted alkenyl radical or an optionally substituted alkynyl radical, via which the radical of the formula (II) is optionally bonded to the rest of the conjugate, or is $-NR^{2'}_2$, $-NR^{2'}SO_2R^{2''}$, $-NR^{2'}COOR^{2''}$, $-NR^{2'}COR^{2'}$, $-NR^{2'}CONR^{2'}_2$ or $-NR^{2'}CSNR^{2'}_2$;

in which

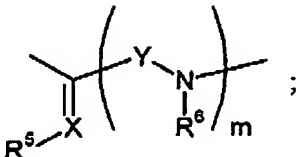
- $R^{2'}$ independently of one another is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical or a saturated or unsaturated, optionally substituted heterocyclic radical, or optionally represents a direct bond, via which the radical of the formula (II) is bonded to the rest of the conjugate;
- $R^{2''}$ is a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical or a saturated or unsaturated, optionally substituted heterocyclic radical, via which the radical of the formula (II) is optionally bonded to the rest of the conjugate;

U is a direct bond or a substituted or unsubstituted alkylene group, via which the radical of the formula (II) is optionally bonded to the rest of the conjugate;

V is a substituted or unsubstituted alkylene group, $-NR^{2'}CO-$ or $-NR^{2'}SO_2-$, via which the radical of the formula (II) is optionally bonded to the rest of the conjugate;

A and B each independently of one another is a 1,3- or 1,4-bridged, optionally additionally substituted phenylene group;

W is a direct bond or a substituted or unsubstituted alkylene group;

C is absent or is  ;

R^3 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical, an alkylamine radical, an alkylamide radical or is bonded to one of R^4 , Y, R^5 or R^6 , if present, with formation of an optionally substituted heterocyclic ring system, which includes the nitrogen atom to which R^3 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

R^4 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical, an alkylamine radical, an

alkylamide radical or is bonded to one of R^3 , Y, R^5 or R^6 , if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R^4 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms, or optionally represents a direct bond, via which the radical of the formula (II) is bonded to the rest of the conjugate;

X is O, N or S;

m is 0 or 1;

Y is a direct bond or an optionally substituted alkylene or alkine group;

R^5 is absent, $-NO_2$, $-CN$, $-COR^{5'}$, $-COOR^{4'}$, or is bonded to one of R^3 , Y, R^4 or R^6 , if present, with formation of an optionally substituted carbocyclic or heterocyclic ring system which includes X and can be saturated or unsaturated and/or can contain further heteroatoms;

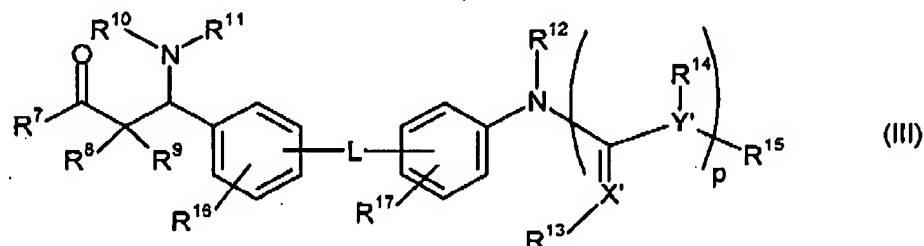
$R^{5'}$ is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical or a saturated or unsaturated, optionally substituted heterocyclic radical which can be saturated or unsaturated and/or can contain further heteroatoms;

R^6 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical, an alkylamine radical, an alkylamide radical or is bonded to one of R^3 , R^4 , Y or R^5 , if present, with formation of an optionally substituted heterocyclic ring system which

includes the nitrogen atom to which R^6 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

or

B) a radical of the formula (III)



in which

R^7 is OH, a substituted or unsubstituted alkoxy or cycloalkoxy radical, a substituted or unsubstituted aryloxy radical or a saturated or unsaturated, optionally substituted heterocycloxy radical, or optionally represents a direct bond or an atom from the group consisting of N, O and S, via which the radical of the formula (III) is bonded to the rest of the conjugate;

R^8 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical, an optionally substituted alkenyl radical, an optionally substituted alkynyl radical, a hydroxyl radical or an alkoxy radical or is bonded to R^9 with formation of an optionally substituted carbocyclic or heterocyclic ring system which includes the carbon atom to which R^8 is bonded and can optionally contain heteroatoms;

- R^9 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical, an optionally substituted alkenyl radical, an optionally substituted alkynyl radical, a hydroxyl radical or an alkoxy radical or is bonded to R^8 with formation of an optionally substituted carbocyclic or heterocyclic ring system which includes the carbon atom to which R^9 is bonded and can optionally contain heteroatoms;
- R^{10} is $-SO_2R^{10'}$, $-COOR^{10''}$, $-COR^{10'}$, $-CONR^{10'}$, or $-CS-NR^{10'}$, or represents a direct bond via which the radical of the formula (III) is optionally bonded to the rest of the conjugate;
- $R^{10'}$ independently of one another is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical or a saturated or unsaturated, optionally substituted heterocyclic radical, via which the radical of the formula (III) is optionally bonded to the rest of the conjugate;
- $R^{10''}$ is a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical or a saturated or unsaturated, optionally substituted heterocyclic radical, via which the radical of the formula (III) is optionally bonded to the rest of the conjugate;
- R^{11} is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical or a substituted or unsubstituted aryl radical,
- R^{16} is hydrogen, CN, a substituted or unsubstituted alkyl or cyclo-alkyl radical, a substituted or unsubstituted alkoxy radical or a halogen atom;

- R^{17} is hydrogen, CN, a substituted or unsubstituted alkyl or cyclo-alkyl radical, a substituted or unsubstituted alkoxy radical or a halogen atom;
- L is $-(CH_2)_nNHSO_2(CH_2)_o-$, $-(CH_2)_nSO_2NH(CH_2)_o-$, $-(CH_2)_nNH-CO(CH_2)_o-$, $-(CH_2)_nCONH(CH_2)_o-$, $-(CH_2)_nOCH_2(CH_2)_o-$, $-(CH_2)_nCH_2O(CH_2)_o-$, $-(CH_2)_nCOO(CH_2)_o-$, $-(CH_2)_nOOC-(CH_2)_o-$, $-(CH_2)_nCH_2CO(CH_2)_o-$, $-(CH_2)_nCOCH_2(CH_2)_o-$, $-NHCONH-$, $-(CH_2)_nSCH_2(CH_2)_o-$, $-(CH_2)_nCH_2S(CH_2)_o-$, $-(CH_2)_nCH_2SO(CH_2)_o-$, $-(CH_2)_nSOCH_2(CH_2)_o-$, $-(CH_2)_nCH_2SO_2(CH_2)_o-$ or $-(CH_2)_nSO_2CH_2(CH_2)_o-$, where n and o each is an integer of 0 or 1 and $n + o \leq 1$;
- R^{12} is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical or is bonded to one of R^{13} , R^{14} or R^{15} , if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom, to which R^{12} is bonded and can be saturated or unsaturated and/or can contain further hetero-atoms;
- X' is N, O or S;
- p is 0 or 1;
- R^{13} is absent, is -H, a substituted or unsubstituted alkyl or cyclo-alkyl radical, -NO₂, -CN, -COR^{13'}, -COOR^{13'}, or is bonded to one of R^{12} , R^{14} or R^{15} with formation of an optionally substituted heterocyclic ring system which includes X' and can be saturated or unsaturated and/or can contain further hetero-atoms;

R^{13} is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical or a saturated or unsaturated, optionally substituted heterocyclic radical which can be saturated or unsaturated and/or can contain further heteroatoms;

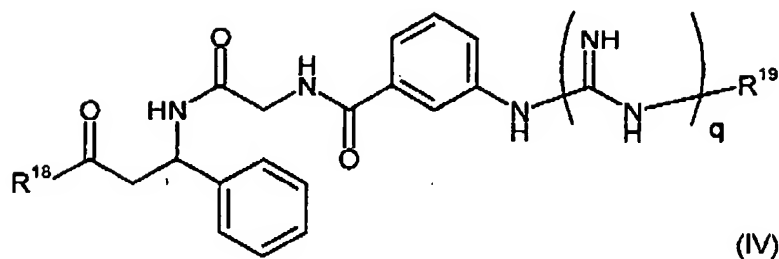
Y' is N or S;

R^{14} is absent, hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical or is bonded to one of R^{12} , R^{13} or R^{15} , if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R^{14} is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

R^{15} is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical or is bonded to one of R^{12} , R^{13} or R^{14} , if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R^{15} is bonded and can be saturated or unsaturated and/or can contain further hetero-atoms, or optionally represents a direct bond via which the radical of the formula (III) is bonded to the rest of the conjugate;

or

C) a radical of the formula (IV)



in which

R^{18} is OH, a substituted or unsubstituted alkoxy or cycloalkoxy radical, a substituted or unsubstituted aryloxy radical or a saturated or unsaturated, optionally substituted heterocycloxy radical, or optionally represents a direct bond or an atom from the group consisting of N, O and S, via which the radical of the formula (IV) is bonded to the rest of the conjugate;

q is 0 or 1;

R^{19} is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl radical, a substituted or unsubstituted aryl radical, a saturated or unsaturated, optionally substituted heterocyclic radical, an alkylamine radical, an alkylamide radical, or optionally represents a direct bond, via which the radical of the formula (IV) is bonded to the rest of the conjugate;

and their physiologically acceptable salts and stereoisomers.

2. (original) Conjugate according to Claim 1, characterized in that

LI is a linker group having the formula

-AA1-AA2-AA3-AA4-AA5-AA6-AA7-AA8-

wherein at least 5 of the radicals AA1 to AA8 are present, AA1 is bonded to the radical CT and

AA1 is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, glutamate, aspartate, serine, lysine, ornithine and phenylalanine;

AA2 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, valine, phenylalanine, tyrosine, threonine, serine, isoleucine, lysine, glutamate, histidine, glycine, arginine, asparagine, glutamine, S-méthyl-cysteine, methionine, arginine, aspartate, tryptophane, proline, ornithine and leucine, and can optionally carry protective groups,

AA3 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, valine, phenylalanine, tyrosine, serine, isoleucine, lysine, glutamate, histidine, glycine, arginine, aspartate, tryptophane, proline, ornithine, methionine, S-methyl-cysteine, norvaline and leucine, and can optionally carry protective groups,

AA4 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, cysteine and norvaline;

AA5 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, tyrosine, glutamine, asparagine, proline, methionine, phenylalanine and cysteine;

AA6 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, glutamine, asparagine, aspartate and proline;

AA7 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, γ -aminobutyric acid, aspartate, glutamate, lysine and proline;

AA8 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, lysine, proline and γ -aminobutyric acid;

and the other radicals CT, Sp and IA are as defined in claim 1.

3. (original) Conjugate according to claim 2, characterized in that

LI is a linker group having the formula

-AA1-AA2-AA3-AA4-AA5-AA6-AA7-AA8-

wherein 5 to 7 of the radicals AA1 to AA8 are present, AA1 is bonded to the radical CT and

AA1 is valine, glycine, leucine, histidine;

AA2 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, phenylalanine, serine, isoleucine, glutamate, asparagine, glutamine, histidine, glycine, aspartate, tryptophane, proline, and leucine, and can optionally carry protective groups,

AA3 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, phenylalanine, serine, isoleucine, norvaline, S-methylcysteine, methionine, glutamate, histidine, glycine, aspartate, tryptophane, and leucine, and can optionally carry protective groups,

AA4 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, leucine, cysteine and norvaline, and can optionally carry protective groups,

AA5 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, histidine, glutamine, phenylalanine, isoleucine, and methionine,

AA6 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, proline, glutamine, methionine, and leucine;

AA7 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, leucine, aspartate, histidine, γ -aminobutyric acid and proline;

AA8 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, proline and γ -aminobutyric acid ;

and the other radicals CT, Sp and IA are as defined in claim 1.

4. (previously presented) Conjugate according to claim 2 or 3, characterized in that

CT is camptothecin or a camptothecin derivative, which can be bonded to the rest of the conjugate via the C20-OH group, or doxorubicine, or quinolone a;

LI is as defined in claim 2 or 3, respectively;

Sp is absent, or is a carbonyl or a thiocarbonyl radical,

IA denotes a non-peptide radical of the formula (II) addressing an $\alpha_v\beta_3$ integrin receptor,

in which

R¹ is OH, methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, t-butoxy, pentoxy, isopentoxy, neopentoxy, hexoxy, cyclopropoxy, cyclopropylmethoxy, cyclobutoxy, cyclopentoxy, cyclohexoxy, phenoxy, benzyloxy, tolyloxy or a substituted derivative thereof, or optionally represents a direct bond or an atom

from the group consisting of N, O and S, via which the radical of the formula (II) is bonded to the rest of the conjugate;

R^2 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, an optionally substituted alkenyl radical or an optionally substituted alkynyl radical, via which the radical of the formula (II) is optionally bonded to the rest of the conjugate, or is $-NR^{2'}_2$, $-NR^{2'}SO_2R^{2''}$, $-NR^{2'}COOR^{2''}$, $-NR^{2'}COR^{2''}$, $-NR^{2'}CONR^{2'}_2$ or $-NR^{2'}CSNR^{2'}_2$,

in which

$R^{2'}$ independently of one another is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, or optionally represents a direct bond via which the radical of the formula (II) is bonded to the rest of the conjugate;

$R^{2''}$ is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, $-C_6H_2(CH_3)_3$, 3-aminophenyl, 4-aminophenyl, 2-chlorophenyl, 4-chlorophenyl, 4-methoxyphenyl, 2,5-dichlorophenyl, 4-trifluoromethylphenyl, camphor-10-yl, 4-t-butylphenyl, 2,5-dimethylphenyl, 3-chlorophenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3-dichlorophenyl, 2,6-dichlorophenyl, 2-naphthyl, 3-trifluoromethylphenyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulphonylphenyl, 2-arylsulphonylphenyl, 3-(N-

acetyl-6-methoxy)aniline or 8-quinolinyl, via which the radical of the formula (II) is optionally bonded to the rest of the conjugate;

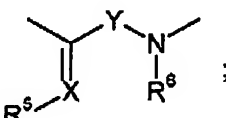
U is a direct bond,

V is an optionally substituted C₁₋₃-alkylene group, via which the radical of the formula (II) is optionally bonded to the rest of the conjugate;

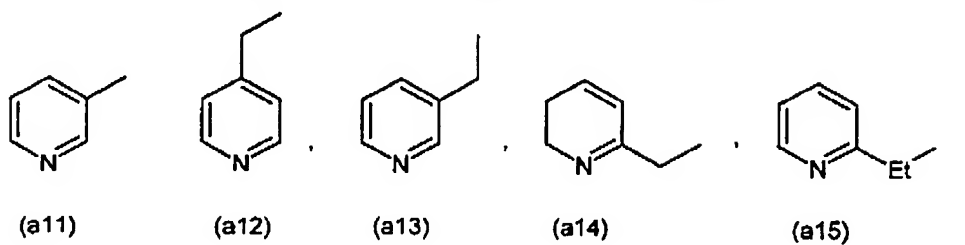
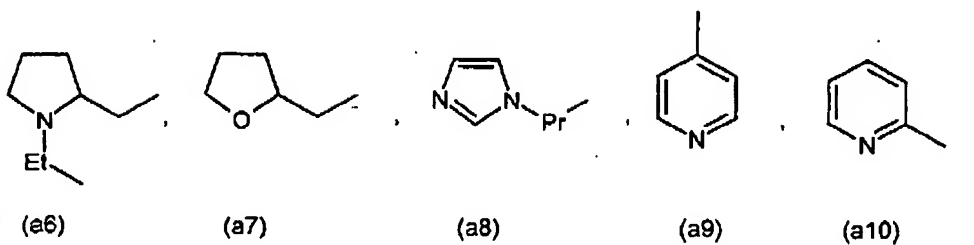
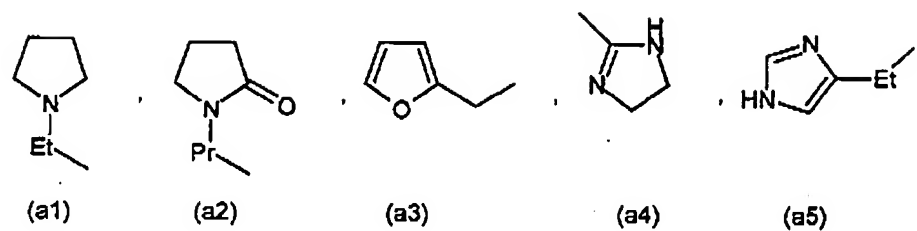
A is a 1,3- or 1,4-bridged phenylene group which is unsubstituted or contains at least one alkoxy radical;

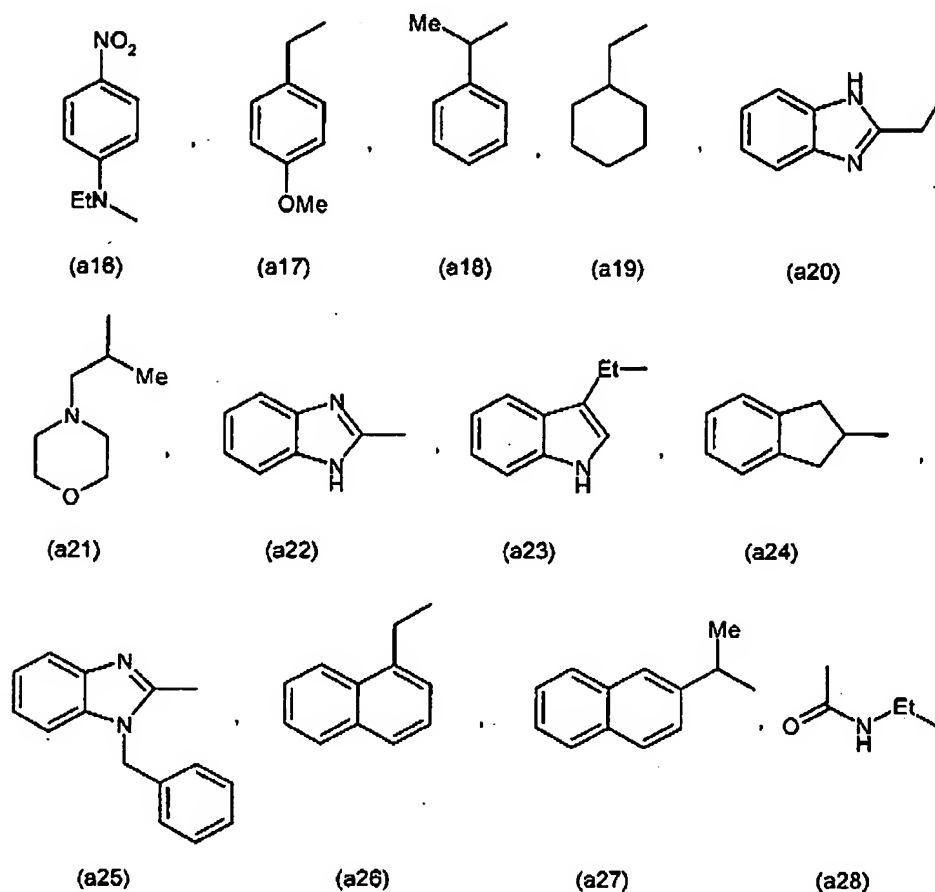
B is a 1,3- or 1,4-bridged phenylene group which is unsubstituted or contains at least one alkyl radical;

W is a direct bond or an optionally substituted C₁₋₄-alkylene group;

C is a direct bond or  ;

R³ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C₁₋₄-alkylamino-C₁₋₄-alkyl, C₁₋₄-dialkyl-amino-C₁₋₄-alkyl, amino-C₁₋₄-alkyl, C₁₋₄-alkyloxy-C₁₋₄-alkyl,





or is bonded to one of R^4 , Y , R^5 or R^6 , if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system, which includes the nitrogen atom to which R^3 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

R^4 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4} -alkylamino- C_{1-4} -alkyl, C_{1-4} -dialkylamino- C_{1-4} -alkyl, amino- C_{1-4} -alkyl, C_{1-4} -alkyloxy- C_{1-4} -alkyl, one of the radicals (a1) to (a28) or is bonded to one of R^3 , Y , R^5 or R^6 , if present,

with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R^4 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms, or optionally represents a direct bond via which the radical of the formula (II) is bonded to the rest of the conjugate;

X is O, N or S;

Y is a direct bond or a substituted or unsubstituted methylene or methine group;

R^5 is absent, is $-NO_2$, $-CN$, $-COR^5$, $-COOR^5$ or is bonded to one of R^3 , Y, R^4 or R^6 , if present, with formation of an optionally substituted carbocyclic or heterocyclic 4- to 6-membered ring system which includes X and which can be saturated or unsaturated and/or can contain further heteroatoms;

$R^{5'}$ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclo-pentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof;

R^6 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4} -alkylamino- C_{1-4} -alkyl, C_{1-4} -dialkylamino- C_{1-4} -alkyl, amino- C_{1-4} -alkyl, C_{1-4} -alkyloxy- C_{1-4} -alkyl, one of the radicals (a1) to (a28) or is bonded to one of R^3 , Y, R^4 or R^5 , if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R^6 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms.

5. (original) Conjugate according to Claim 4, characterized in that

R^1 represents a direct bond or an atom from the group consisting of N, O and S, via which the radical of the formula (II) is bonded to the rest of the conjugate;

and the other radicals of the formula (II) are as defined in Claim 4.

6. (original) Conjugate according to Claim 4, characterized in that

R^4 represents a direct bond, via which the radical of the formula (II) is bonded to the rest of the conjugate;

and the other radicals of the formula (II) are as defined in Claim 4.

7. (original) Conjugate according to Claim 4, characterized in that the radical of the formula (II) is linked to the rest of the conjugate via a radical in the α - or β -position relative to the carboxyl group,

and the other radicals of the formula (II) are as defined in Claim 4.

8. (previously presented) Conjugate according to Claim 2 or 3, characterized in that

CT is camptothecin or a camptothecin derivative, which can be linked to the rest of the conjugate via the C20-OH group, or doxorubicine or quinolone a;

LI is as defined in claim 2 or 3, respectively;

Sp is absent, or a carbonyl or a thiocarbonyl radical,

IA is a non-peptide radical of the formula (II) addressing an $\alpha_v\beta_3$ integrin receptor,

in which

R¹ is OH, methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, t-butoxy, pentoxy, isopentoxy, neopentoxy, hexoxy, cyclopropoxy, cyclopropylmethoxy, cyclobutoxy, cyclo-pentoxy, cyclohexoxy, phenoxy, benzyloxy, tolyloxy or a substituted derivative thereof, or optionally represents a direct bond or an atom from the group consisting of N, O and S, via which the radical of the formula (II) is bonded to the rest of the conjugate;

R² is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-butyl, cyclopentyl, cyclohexyl, phenyl, benzyl, 4-amino-benzyl, tolyl, phenylethyl, a substituted derivative such as 4-aminobenzyl or a saturated or unsaturated, optionally substituted heterocyclic analogue thereof, an optionally substituted alkenyl radical, an optionally substituted alkynyl radical, via which the radical of the formula (II) is optionally bonded to the rest of the conjugate;

U is a direct bond or an optionally substituted C₁₋₃-alkylene group such as –CH(C₆H₄-3-NH)- or –CH(C₆H₄-4-NH)-, via which the radical of the formula (II) is optionally bonded to the rest of the conjugate;

V is –NR²⁰CO- or –NR²⁰SO₂-;

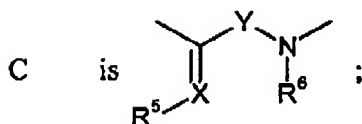
R²⁰ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-propylmethyl,

cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl, phenylethyl, phenylpropyl, phenoxyethyl or a substituted derivative thereof;

A is a 1,3- or 1,4-bridged phenylene group which is unsubstituted or contains at least one alkoxy radical;

B is a 1,3- or 1,4-bridged phenylene group which is unsubstituted or contains at least one alkyl radical;

W is a direct bond or an optionally substituted C₁₋₃-alkylene group;



R³ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-propylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, 4-methyl-cyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C₁₋₄-alkylamino-C₁₋₄-alkyl, C₁₋₄-dialkylamino-C₁₋₄-alkyl, amino-C₁₋₄-alkyl, C₁₋₄-alkyloxy-C₁₋₄-alkyl, one of the radicals (a1) to (a28) or is bonded to one of R⁴, Y or R⁶, if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system, which includes the nitrogen atom to which R³ is bonded, and can be saturated or unsaturated and/or can contain further heteroatoms;

R⁴ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-propylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, 4-methyl-cyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted

derivative thereof, C₁₋₄-alkylamino-C₁₋₄-alkyl, C₁₋₄-dialkylamino-C₁₋₄-alkyl, amino-C₁₋₄-alkyl, C₁₋₄-alkyloxy-C₁₋₄-alkyl, one of the radicals (a1) to (a28) or is bonded to one of R³, Y or R⁶, if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system, which includes the nitrogen atom to which R⁴ is bonded and can be saturated or unsaturated and/or can contain further heteroatoms, or optionally represents a direct bond via which the radical of the formula (II) is bonded to the rest of the conjugate;

X is O or S;

Y is a direct bond or a substituted or unsubstituted methylene or methine group;

R⁵ is absent;

R⁶ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-propylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, 4-methyl-cyclohexyl, 3,3,5-trimethyl-cyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C₁₋₄-alkylamino-C₁₋₄-alkyl, C₁₋₄-dialkylamino-C₁₋₄-alkyl, amino-C₁₋₄-alkyl, C₁₋₄-alkyloxy-C₁₋₄-alkyl, one of the radicals (a1) to (a28) or is bonded to one of R³, Y or R⁴, if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R⁶ is bonded, and can be saturated or unsaturated and/or can contain further heteroatoms.

9. (original) Conjugate according to Claim 8, characterized in that

R^1 represents a direct bond or an atom from the group consisting of N, O and S, via which the radical of the formula (II) is bonded to the rest of the conjugate;

and the other radicals of the formula (II) are as defined in Claim 8.

10. (original) Conjugate according to Claim 8, characterized in that

R^4 represents a direct bond, via which the radical of the formula (II) is bonded to the rest of the conjugate;

and the other radicals of the formula (II) are as defined in Claim 8.

11. (original) Conjugate according to Claim 8, characterized in that

the radical of the formula (II) is linked to the rest of the conjugate via a radical in the α - or β -position relative to the carboxyl group;

and the other radicals of the formula (II) are as defined in Claim 8.

12. (previously presented) Conjugate according to Claim 2 or 3, characterized in that

CT is camptothecin, which can be linked to the rest of the conjugate via the C20-OH group;

LI is as defined in claim 2 or 3, respectively;

Sp is absent, or a carbonyl or a thiocarbonyl radical,

IA is a non-peptide radical of the formula (III) addressing an $\alpha_v\beta_3$ integrin receptor,

in which

R⁷ is OH, methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, t-butoxy, pentoxy, isopentoxy, neopentoxy, hexoxy, cyclopropoxy, cyclopropylmethoxy, cyclobutoxy, cyclo-pentoxy, cyclohexoxy, phenoxy, benzyloxy, tolyloxy or a substituted derivative thereof, or optionally represents a direct bond or an atom from the group consisting of N, O and S, via which the radical of the formula (III) is bonded to the rest of the conjugate;

R⁸ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-butyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, -OH, methoxy, ethoxy, propoxy, butoxy, pentoxy, hexoxy, benzyloxy or is bonded to R⁹ with formation of an optionally substituted 3- to 6-membered carbocyclic or heterocyclic ring system, which includes the carbon atom to which R⁸ is bonded and can optionally contain heteroatoms;

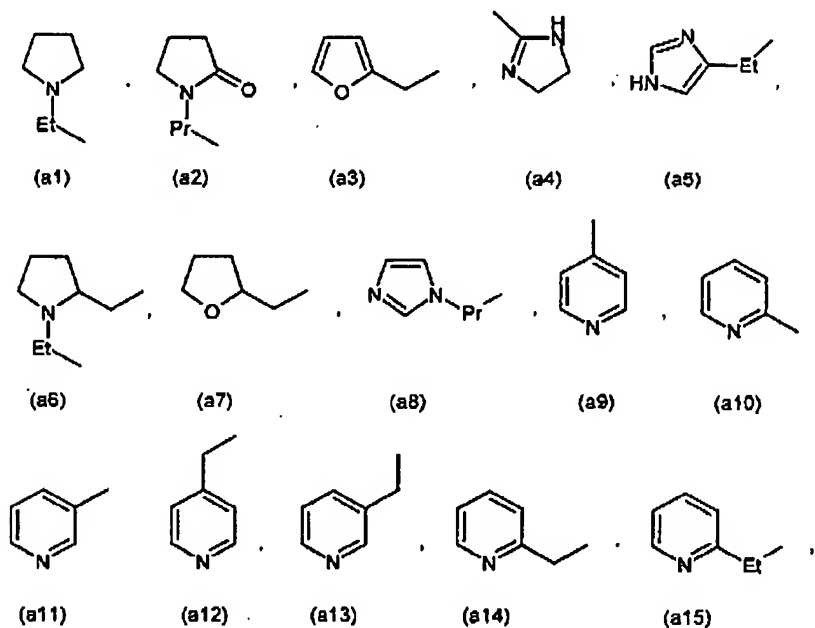
R⁹ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-butyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, -OH, methoxy, ethoxy, propoxy, butoxy, pentoxy, hexoxy or is bonded to R⁸ with formation of an optionally substituted 3- to 6-membered carbocyclic or heterocyclic ring system which includes the carbon atom to which R⁹ is bonded and can optionally contain heteroatoms;

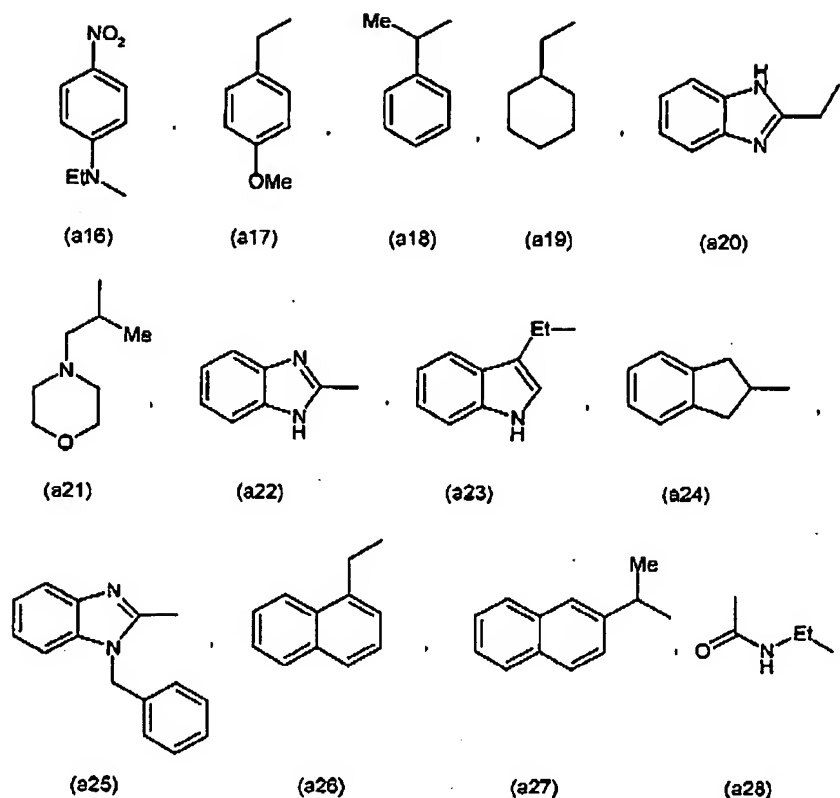
R^{10} is $SO_2R^{10'}$, $-COOR^{10'}$, $-COR^{10'}$, $-CONR^{10'}_2$ or $-CSNR^{10'}_2$ or represents a direct bond, via which the radical of the formula (III) is optionally bonded to the rest of the conjugate;

$R^{10'}$ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-butyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, $-C_6H_2(CH_3)_3$, $-C_6(CH_3)_5$, $-CH_2C_6H_2(CH_3)_3$, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,5-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 4-chlorophenylmethyl, 2,4-dichloro-phenyl-methyl, 2,6-dichlorophenylmethyl, 3-aminophenyl, 4-amino-phenyl, 2-methoxy-carbonylphenylmethyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 3,5-bis(trifluoromethyl)phenyl, 4-trifluoromethoxyphenyl, phenylmethyl, 2-acetamido-4-methylthiazol-5-yl, phenylethyl, 1-phenylpropyl, (S)-(+)-camphor-10-yl, (R)-(-)-camphor-10-yl, 2-phenylethenyl, 2-thiophenyl, 4-methoxyphenyl, 3,5-dimethoxyphenyl, 3-methylphenyl, 4-methylphenyl, 4-t-butylphenyl, 4-propylphenyl, 2,5-dimethylphenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 1-naphthyl, 2-naphthyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulphonylphenyl, 2-arylsulphonylphenyl, 3-(N-acetyl-6-methoxy)aniline, 4-acetamidophenyl, 2,2,2-trifluoroethyl, 5-chloro-3-methylbenzothiazol-2-yl, N-meth-oxycarbonyl-piperidin-3-yl, thiophen-2-yl, isoxazol-5-yl, ethoxy, 2-chloropyridin-3-yl, pyridin-3-yl, benzyloxy, 5-methylisoxazol-3-yl, 1-adamantyl, 4-chlorophenoxymethyl, 2,2-dimethylethenyl, 2-chloropyridine-5-methyl, 5,7-dimethyl-1,3,4-triazaindolizin-2-yl, (S)-camphan-1-yl, (R)-camphan-1-yl or 8-quinolinyl;

R^{10} is a C_{1-6} -alkyl radical, a C_{3-7} -cycloalkyl radical, a substituted or unsubstituted aryl radical or a saturated or unsaturated, optionally substituted heterocyclic radical, via which the radical of the formula (III) is optionally bonded to the rest of the conjugate;

R^{11} is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-propylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclo-heptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4} -alkylamino- C_{1-4} -alkyl, C_{1-4} -dialkyl-amino- C_{1-4} -alkyl, amino- C_{1-4} -alkyl, C_{1-4} -alkyloxy- C_{1-4} -alkyl, dialkylamino- C_{1-4} -alkyl, amino- C_{1-4} -alkyl, C_{1-4} -alkyloxy- C_{1-4} -alkyl or





R^{16} is hydrogen, CN, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclo-propyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, methoxy, trifluoromethoxy, ethoxy, propoxy, butoxy, pentoxy or hexoxy, fluorine, chlorine, bromine or iodine;

R^{17} is hydrogen, CN, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclo-propyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, methoxy, trifluoromethoxy, ethoxy, propoxy, butoxy, pentoxy or hexoxy, fluorine, chlorine, bromine or iodine;

L is $-NH SO_2-$, $-CH_2 NH SO_2-$, $-NH SO_2 CH_2-$, $-SO_2 NH-$, $-CH_2 SO_2 NH-$, $-SO_2 NH CH_2-$, $-NH CO-$, $-CH_2 NH CO-$, $-NH CO CH_2-$, $-CONH-$, $-CH_2 CONH-$, $-CONH CH_2-$, $-OCH_2-$, $-CH_2 OCH_2-$, $-OCH_2 CH_2-$, $-CH_2 O-$ or $-CH_2 CH_2 O-$;

- R^{12} is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-propylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclo-heptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4} -alkylamino- C_{1-4} -alkyl, C_{1-4} -dialkylamino- C_{1-4} -alkyl, amino- C_{1-4} -alkyl, C_{1-4} -alkyloxy- C_{1-4} -alkyl, one of the radicals (a1) to (a28) or is bonded to one of R^{13} , R^{14} or R^{15} , if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R^{12} is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;
- X' is N, O or S;
- p is 0 or 1;
- R^{13} is absent, is -H, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclo-propyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, -NO₂, -CN, -COR^{7'}, -COOR^{7'}, or is connected to one of R^{12} , R^{14} or R^{15} with formation of an optionally substituted carbocyclic or heterocyclic 4- to 6-membered ring system which includes X' and can be saturated or unsaturated and/or can contain further heteroatoms;
- $R^{13'}$ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-butyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof;
- Y' is N or S;

- R^{14} is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4} -alkylamino- C_{1-4} -alkyl, C_{1-4} -dialkylamino- C_{1-4} -alkyl, amino- C_{1-4} -alkyl, C_{1-4} -alkyloxy- C_{1-4} -alkyl, one of the radicals (a1) to (a28), or is bonded to one of R^{12} , R^{13} or R^{15} , if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R^{14} is bonded and can be saturated or unsaturated and/or can contain further heteroatoms; and
- R^{15} is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclo-propylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclo-heptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4} -alkylamino- C_{1-4} -alkyl, C_{1-4} -dialkylamino- C_{1-4} -alkyl, amino- C_{1-4} -alkyl, C_{1-4} -alkyloxy- C_{1-4} -alkyl, one of the radicals (a1) to (a28) or is bonded to one of R^{12} , R^{13} or R^{14} , if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R^{15} is bonded and can be saturated or unsaturated and/or can contain further heteroatoms, and or optionally represents a direct bond via which the radical of the formula (III) is bonded to the rest of the conjugate.

13. (original) Conjugate according to Claim 12, characterized in that

R^7 represents a direct bond or an atom from the group consisting of N, O and S, via which the radical of the formula (II) is bonded to the rest of the conjugate;

and the other radicals of the formula (III) are as defined in Claim 12.

14. (original) Conjugate according to Claim 12, characterized in that

R^{15} represents a direct bond, via which the radical of the formula (III) is bonded to the rest of the conjugate;

and the other radicals of the formula (III) are as defined in Claim 12.

15. (original) Conjugate according to Claim 12, characterized in that

the radical of the formula (III) is linked to the rest of the conjugate via a radical in the α - or β -position relative to the carboxyl group,

and the other radicals of the formula (III) are as defined in Claim 12.

16. (previously presented) Conjugate according to Claim 2 or 3, characterized in that

IA is a non-peptide radical of the formula (IV) addressing an $\alpha_v\beta_3$ integrin receptor,

wherein

R^{18} represents a direct bond or an atom from the group consisting of N, O and S, via which the radical of the formula (IV) is bonded to the rest of the conjugate;

and the other radicals are as defined in Claim 2 or 3, respectively.

17. (previously presented) Conjugate according to Claim 2 or 3, characterized in that

IA is a non-peptide radical of the formula (IV) addressing an $\alpha_v\beta_3$ integrin receptor,

wherein

R^{19} represents a direct bond, via which the radical of the formula (IV) is bonded to the rest of the conjugate;

and the other radicals are as defined in Claim 2 or 3, respectively.

18. (cancelled)

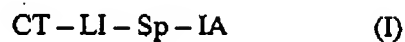
19. (cancelled)

20. (withdrawn)

21. (cancelled)

22. (cancelled)

23. (new) A conjugate of formula (I)



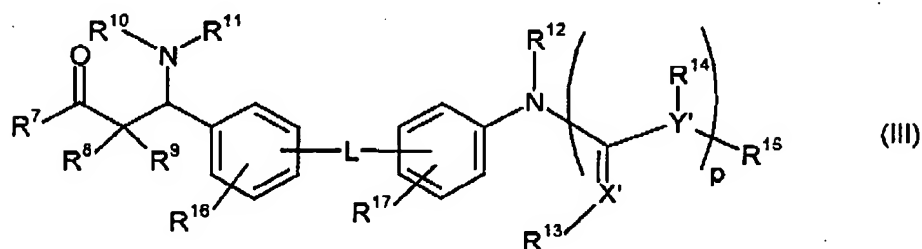
wherein

CT is camptothecin, which is linked to the rest of the conjugate via the C20-OH group;

LI is a linker group comprising 5 to 8 amino acid residues in the D or L configuration,

Sp is a bond or a carbonyl or a thiocarbonyl radical,

IA is a non-peptide radical addressing an $\alpha_v\beta_3$ integrin receptor, and is represented by the formula (III)



in which

R^7 is OH, a substituted or unsubstituted alkoxy or cycloalkoxy radical, or a substituted or unsubstituted aryloxy radical;

R^8 is hydrogen, or a substituted or unsubstituted alkyl radical;

R^9 is hydrogen, or a substituted or unsubstituted alkyl radical;

R^{10} is $-\text{COR}^{10'}$, $-\text{CONHR}^{10'}$ or $-\text{CS-NHR}^{10'}$;

- $R^{10'}$ is a substituted aryl radical, via which the radical of the formula (III) is bonded to the rest of the conjugate;
- R^{11} is hydrogen,
- R^{16} is hydrogen, CN, an unsubstituted alkyl or cyclo-alkyl radical, a substituted or unsubstituted alkoxy radical or a halogen atom;
- R^{17} is hydrogen, CN, a substituted or unsubstituted alkyl or cyclo-alkyl radical, an unsubstituted alkoxy radical or a halogen atom;
- L is $-(CH_2)_nNHSO_2(CH_2)_o-$ or $-(CH_2)_nSO_2NH(CH_2)_o-$,
where n and o each is an integer of 0 or 1 and $n + o \leq 1$;
- R^{12} is hydrogen, or an unsubstituted alkyl radical;
- X' is O;
- p is 1;
- R^{13} is absent;
- Y' is N;
- R^{14} is hydrogen or an unsubstituted alkyl radical;
- R^{15} is hydrogen, or an unsubstituted alkyl or cycloalkyl radical;

or a physiologically acceptable salt thereof.